

Translation

PATENT COOPERATION TREATY

PCT

PCT Application  
PCT/CN2004/0004



INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 05 OCT 2005

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Applicant's or agent's file reference IEC040026PCT	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/CN2004/000487	International filing date (day/month/year) 14 May 2004 (14.05.2004)	Priority date (day/month/year) 06 June 2003 (06.06.2003)
International Patent Classification (IPC) or national classification and IPC IPC7 C07D487/04, C07C63/10, C07D207/00, C07D207/34, A61K31/505, A61P15/00 // (C07D487/04, 239:00, 209:00)		

Applicant

TIANJIN TASLY GROUP CO. LTD. ET AL.

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
  - a. ☐ (sent to the applicant and to the International Bureau) a total of \_\_\_\_\_ sheets, as follows:
    - ☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
    - ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
  - b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic \_\_\_\_\_, containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

- ☒ Box No. I Basis of the report
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☒ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☒ Box No. VIII Certain observations on the international application

Date of submission of the demand

30.Nov. 2004 (30.11.2004)

Date of completion of this report

02.Sep. 2005 (02.09.2005)

Name and mailing address of the IPEA/CN

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# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.  
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## Box No. I Basis of the report

1. With regard to the language, this report is based on:

- ☒ the international application in the language in which it was filed
- ☐ a translation of the international application into \_\_\_\_\_, which is the language of a translation furnished for the purposes of:
  - ☐ international search (Rules 12.3(a) and 23.1(b))
  - ☐ publication of the international application (Rule 12.4(a))
  - ☐ international preliminary examination (Rules 55.2(a) and/or 55.3(a))

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ the international application as originally filed/furnished
- ☐ the description:
  - pages \_\_\_\_\_ as originally filed/furnished
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:
  - pages \_\_\_\_\_ as originally filed/furnished
  - pages \* \_\_\_\_\_ as amended (together with any statement) under Article 19
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
  - pages \_\_\_\_\_ as originally filed/furnished
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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## Box No. IV Lack of unity of invention

1. ☐ In response to the invitation to restrict or pay additional fees the applicant has, within the applicable time limit:
  - ☐ restricted the claims
  - ☐ paid additional fees
  - ☐ paid additional fees under protest and, where applicable, the protest fee
  - ☐ paid additional fees under protest but the applicable protest fee was not paid
  - ☐ neither restricted nor paid additional fees
2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☒ not complied with for the following reasons:

The present invention relates to compounds, the processes for preparing the compounds, the pharmaceutical composition, the use and the intermediates. In claim 10, the intermediates IE, IF haven't the same fundamental structure with the ultimate product I and can not prepare the ultimate product I directly. Although the intermediates IA, ID can be used to prepare the ultimate product I directly, they haven't the same fundamental structure unit with the ultimate product I. Therefore, claims 10 and 1 could not considered to satisfy the requirement of unity of invention in accordance with Rule 13.1, 13.2 and 13.3.

4. Consequently, this report has been established in respect of the following parts of the international application:

- ☒ all parts.
- ☐ the parts relating to claims Nos. \_\_\_\_\_

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.  
PCT/CN2004/000487**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement:**

Novelty (N)	Claims 1-9	YES
	Claims 10	NO
Inventive step (IS)	Claims 1-9	YES
	Claims 10	NO
Industrial applicability (IA)	Claims 1-10	YES
	Claims	NO

**2. Citations and explanations (Rule 70.7)**

The following documents have been cited herein:

D1: CN 1422271 A, (SK CHEM. CO. LTD. ET AL.) 04.Jun. 2003(04.06.2003), claim 14, the description, pages 10-11, compounds (16), (18), examples

D2: Zhongguo Yaowu Huaxue Zazhi, Vol.9, No.3, 1999, Jing ET AL., "Synthesis of sildenafil", pages 220-222, compound (3)

D3: Journal of Heterocyclic Chemistry, Vol.27, No.7, 1990, Eger, Kurt ET AL., "Synthesis of pyrrolo[2,3-d]pyrimidine ribosides and their potential in chemotherapeutics", pages 2069-75, compounds 4b

D4: Liebigs Annalen der Chemie, No.9, 1986, Pichler, Herbert ET AL., "Synthesis of 7-unsubstituted 7H-pyrrolo[2,3-d]pyrimidines", pages 1485-505, compounds 1

D5: Synthesis, No.3, 1979, Mattson, Ronald J. ET AL., "Selective N-1-methylation of 2-aminopyrroles with sodium hydride and dimethyl sulfate", pages 217-18, compounds 4, 5

**i. Novelty**

The compounds of the present claim 1 are not described in the prior art. Therefore, the present claims 1-4 (compounds), 5 (process for preparing the compounds), 6-9 (pharmaceutical composition and use) are considered to satisfy PCT Art. 33(2).

The compounds of formula IE and IF have been disclosed respectively in D1-D2 and D3-D5. Hence, the present claim 10 is considered not to be new under PCT Art. 33(2).

**ii. Inventive step**

The document D1 is the closest prior art. The compounds of the present claim 1 structurally differ from the compounds of D1 and there is no indication to be found in D1 which would give the skilled person any indication to come to a structure similar to the compounds of the present claim 1. In the absence of an indication and due to the advantages of the claimed compounds described in the present application, an inventive step can be acknowledged for claims 1-9.

Since the compounds with similar structures and same use (as raw material in the reaction of the same type to obtain pharmaceutical intermediate) have been disclosed in D1-D5, the present claim 10 is not considered to involve an inventive step under PCT Art. 33(3).

**iii. Industrial applicability**

Claims 1-10 are considered to satisfy PCT Art. 33(4).

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**

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**Box No. VIII Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claim 10 is unclear because the definition of formula I and groups  $R^1$ - $R^5$  is lacking. Therefore, Claim 10 is not considered to satisfy PCT Art. 6.

The opinion on claim 10 is based on the definition of groups described in the description.